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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | |
|---|---|---|
| NEWS 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS 2 | JUL 02 | LMEDLINE coverage updated |
| NEWS 3 | JUL 02 | SCISEARCH enhanced with complete author names |
| NEWS 4 | JUL 02 | CHEMCATS accession numbers revised |
| NEWS 5 | JUL 02 | CA/CAplus enhanced with utility model patents from China |
| NEWS 6 | JUL 16 | CAplus enhanced with French and German abstracts |
| NEWS 7 | JUL 18 | CA/CAplus patent coverage enhanced |
| NEWS 8 | JUL 26 | USPATFULL/USPAT2 enhanced with IPC reclassification |
| NEWS 9 | JUL 30 | USGENE now available on STN |
| NEWS 10 | AUG 06 | CAS REGISTRY enhanced with new experimental property tags |
| NEWS 11 | AUG 06 | BEILSTEIN updated with new compounds |
| NEWS 12 | AUG 06 | FSTA enhanced with new thesaurus edition |
| NEWS 13 | AUG 13 | CA/CAplus enhanced with additional kind codes for granted patents |
| NEWS 14 | AUG 20 | CA/CAplus enhanced with CAS indexing in pre-1907 records |
| NEWS 15 | AUG 27 | Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB |
| NEWS 16 | AUG 27 | USPATOLD now available on STN |
| NEWS 17 | AUG 28 | CAS REGISTRY enhanced with additional experimental spectral property data |
| NEWS 18 | SEP 07 | STN AnaVist, Version 2.0, now available with Derwent World Patents Index |
| NEWS 19 | SEP 13 | FORIS renamed to SOFIS |
| NEWS 20 | SEP 13 | INPADOCDB enhanced with monthly SDI frequency |
| NEWS 21 | SEP 17 | CA/CAplus enhanced with printed CA page images from 1967-1998 |
| NEWS 22 | SEP 17 | CAplus coverage extended to include traditional medicine patents |
| NEWS 23 | SEP 24 | EMBASE, EMBAL, and LEMBASE reloaded with enhancements |
| NEWS 24 | OCT 02 | CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt |

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

| | |
|---|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:26:50 ON 15 OCT 2007

=> file casreact

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'CASREACT' ENTERED AT 07:26:58 ON 15 OCT 2007
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FILE CONTENT:1840 - 13 Oct 2007 VOL 147 ISS 17

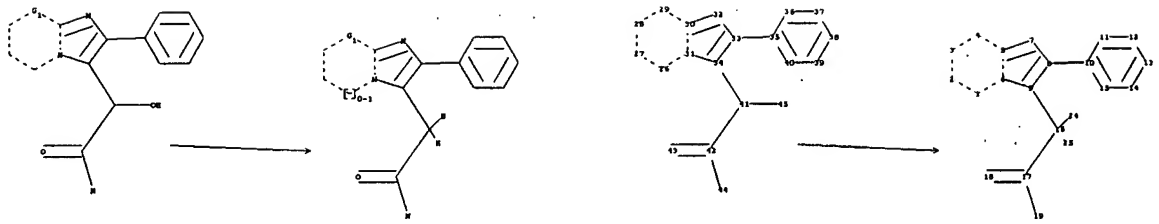
New CAS Information Use Policies, enter HELP USAGETERMS for details.

**********
*
*  CASREACT now has more than 13.8 million reactions  *
*
**********

Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>
Uploading C:\Program Files\Stnexp\Queries\10537604.str



chain nodes :
16 17 18 19 24 25 41 42 43 44 45
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 26 27 28 29 30 31 32 33
34 35 36 37 38 39 40
chain bonds :
8-10 9-16 16-17 16-24 16-25 17-18 17-19 33-35 34-41 41-42 41-45 42-43
42-44
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 26-27 26-31 27-28 28-29 29-30 30-31 30-32 31-34 32-33 33-34 35-36
35-40 36-37 37-38 38-39 39-40
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-10 9-16 16-17 16-24 16-25
17-18 17-19 26-27 26-31 27-28 28-29 29-30 30-31 30-32 31-34 32-33 33-34
33-35 34-41 41-42 41-45 42-43 42-44
normalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15 35-36 35-40 36-37 37-38 38-39 39-40
isolated ring systems :
containing 1 : 10 :

G1:C,O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS
24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:CLASS
42:CLASS 43:CLASS 44:CLASS 45:CLASS

fragments assigned product role:
containing 1
fragments assigned reactant/reagent role:
containing 26

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 07:27:35 FILE 'CASREACT'
SCREENING COMPLETE - 34 REACTIONS TO VERIFY FROM 9 DOCUMENTS

100.0% DONE 34 VERIFIED 8 HIT RXNS 5 DOCS
SEARCH TIME: 00.00.01

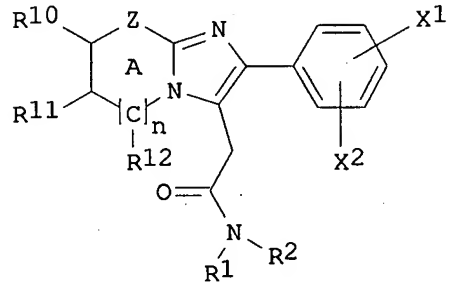
L2 5 SEA SSS FUL L1 ( 8 REACTIONS)

=> d ibib abs fhit tot

L2 ANSWER 1 OF 5 CASREACT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:331433 CASREACT
TITLE: Synthesis of heteroaryl acetamides from reaction mixtures of heteroaryl α-hydroxyacetamides having reduced water content
INVENTOR(S): Jarvi, Esa T.; Miller, Douglas C.; Moser, Frank W.; Halvachs, Robert E.
PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006007289 | A1 | 20060119 | WO 2005-US19810 | 20050603 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2005262622 | A1 | 20060119 | AU 2005-262622 | 20050603 |
| CA 2571491 | A1 | 20060119 | CA 2005-2571491 | 20050603 |

CN 1972939 A 20070530 CN 2005-80020732 20050603
EP 1809627 A1 20070725 EP 2005-756522 20050603
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
US 2007213537 A1 20070913 US 2006-594486 20060927
IN 2006CN04715 A 20070629 IN 2006-CN4715 20061222
PRIORITY APPLN. INFO.: US 2004-581967P 20040622
WO 2005-US19810 20050603
OTHER SOURCE(S): MARPAT 144:331433
GI



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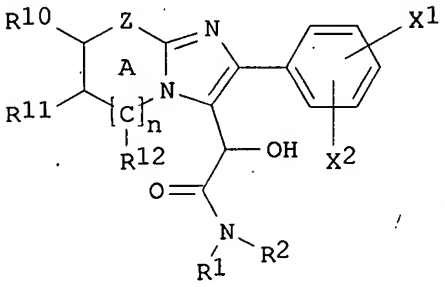
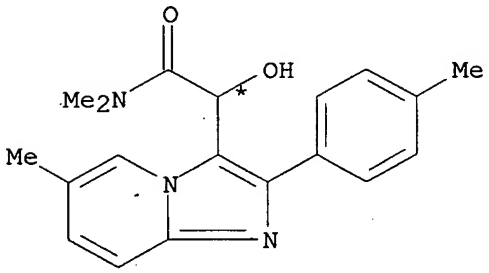


II

AB An improved process for the preparation of a heteroaryl acetamide (I) [Z = O, NR20 or CR21; X1, X2 = H, halogen, C1-4 alkoxy, C1-6 alkyl, CF3, MeSO2; R1, R2 = H, hydrocarbyl; R10 = H, halogen, C1-4 alkyl, a fused ring such as (i) a (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-NR20 or (ii) a (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11); R11 = H, halogen, C1-4 alkyl, or a fused ring such as (i) a (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11) or (ii) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R12 (if present) = H, halogen, C1-4 alkyl, or a fused ring such as (i) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R20 = C1-5 alkyl or a fused ring such as an (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-N(R20); R21 = H, halogen, C1-4 alkyl; n = 0-1; when Z is CR21, the A ring is aromatic] from a heteroaryl α-hydroxyacetamide (II) is provided. The process comprises directly hydrogenating the heteroaryl α-hydroxyacetamide II in the presence of a strong acid, a halide and a catalyst wherein the molar ratio of the starting heteroaryl α-hydroxyacetamide II to water at the initiation of hydrogenolysis is at least about 2:1. In one embodiment, the heteroaryl acetamide is zolpidem and the heteroaryl α-hydroxyacetamide is α-hydroxyzolpidem. Thus, α-hydroxyzolpidem (1.35 kg), acetic acid (1.42 kg), 5% Pd-C (38.6 g), and NaBr solution (6.6 mL) were combined in a glass reactor and the

reactor was closed. Concentrated H2SO4 (0.625 kg) and acetic anhydride (0.31 kg) were added to the reactor with cooling to maintain the reaction temperature below 70° and then the reactor was purged with nitrogen and pressurized with hydrogen gas to 30 psig. The reaction mixture was heated at 80-85° while maintaining the hydrogen pressure at 30 psig until the hydrogen uptake stopped, and cooled to 20-30°, and filtered to remove the catalyst, followed by washing the filtered catalyst with 1 L water and the wash water was added to the filtrate to give, after adding 3 L water and 3.15 kg iso-Pr alc. and then ammonium hydroxide (approx. 4.15 kg), cooling for crystallization, filtration, and drying, 1 kg zolpidem.

RX(1) OF 7 A ===> B




A

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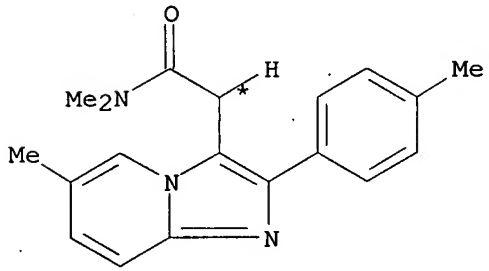



B
YIELD 97%

RX(1) RCT A 118026-14-5
RGT C 7664-93-9 H2SO4, D 7647-15-6 NaBr, E 1333-74-0 H2
PRO B 82626-48-0
CAT 7440-05-3D Pd
SOL 7732-18-5 Water, 64-19-7 AcOH
CON SUBSTAGE(1) room temperature, 25 psi
SUBSTAGE(2) room temperature -> 70 deg C, 25 psi -> 35 psi
SUBSTAGE(3) 6 hours, 70 deg C, 35 psi
NTE optimization study
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 2 OF 5 CASREACT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:123627 CASREACT
TITLE: Improved process for the synthesis of heteroaryl acetamides, in particular zolpidem, by hydrogenation of α-hydroxyacetamides
INVENTOR(S): Jarvi, Esa T.; Miller, Douglas C.

PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

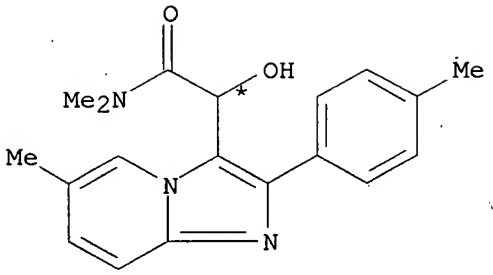
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004058758 | A1 | 20040715 | WO 2003-US39951 | 20031216 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2509561 | A1 | 20040715 | CA 2003-2509561 | 20031216 |
| AU 2003297153 | A1 | 20040722 | AU 2003-297153 | 20031216 |
| EP 1575952 | A1 | 20050921 | EP 2003-814010 | 20031216 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1729188 | A | 20060201 | CN 2003-80106954 | 20031216 |
| JP 2006516139 | T | 20060622 | JP 2004-563575 | 20031216 |
| US 2006025588 | A1 | 20060202 | US 2005-537604 | 20050603 |
| MX 2005PA06438 | A | 20050908 | MX 2005-PA6438 | 20050615 |
| IN 2005CN01264 | A | 20070622 | IN 2005-CN1264 | 20050615 |
| PRIORITY APPLN. INFO.: | | | US 2002-435763P | 20021218 |
| | | | WO 2003-US39951 | 20031216 |

OTHER SOURCE(S): MARPAT 141:123627
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is directed to an improved process for the preparation of heteroaryl acetamides I, in particular zolpidem (II), in one step, by hydrogenation of the corresponding α-hydroxyacetamides in the presence of a strong acid, a halide, and a Pd-based catalyst [wherein Z = O, NR20, CH and derivs.; X1, X2 = independently H, halo, alkoxy, alkyl, CF3, CH3SO2; R1, R2 = independently H, hydrocarbyl; R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, etc.; R5 = H, halo, alkyl, etc.; W = (C)n; n = 0-1; when Z = CH and derivs., A is aromatic]. Thus, α-hydroxy-II was hydrogenated in the presence of a solution of H2SO4 in glacial AcOH, 1.4M NaBr in water, and 5% Pd/BaSO4 at 30-35 psi and 70° for 17 h to give zolpidem in 92 yield and 98.4% purity. Simillarly, α-hydroxy-II O-acetate gave II in 86% yield and 74.4% purity, which was recrystd. from i-PrOH.

RX(1) OF 3 A ===> B



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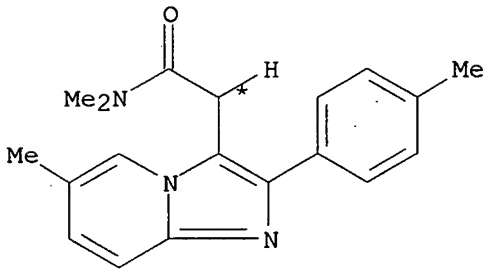


B
YIELD 97%

RX(1) RCT A 118026-14-5

STAGE(1)
RGT C 1333-74-0 H2, D 7647-15-6 NaBr, E 7664-93-9 H2SO4, F 64-19-7 AcOH
CAT 7440-05-3 Pd, 7727-43-7 BaSO4
SOL 7732-18-5 Water
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature
SUBSTAGE(3) room temperature -> 70 deg C, 25 psi
SUBSTAGE(4) 6 hours, 70 deg C, 35 psi
SUBSTAGE(5) 70 deg C -> 40 deg C

STAGE(2)
SOL 7732-18-5 Water

PRO B 82626-48-0
NTE optimization study, solid supported catalyst

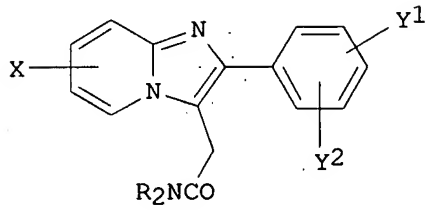
L2 ANSWER 3 OF 5 CASREACT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:94046 CASREACT
TITLE: Process for the preparation imidazo[1,2-a]pyridine-3-acetamides
INVENTOR(S): Schloemer, George C.
PATENT ASSIGNEE(S): Scinopharm Taiwan, Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 4 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2004010146 | A1 | 20040115 | US 2003-620209 | 20030714 |
| US 6861525 | B2 | 20050301 | | |
| WO 2004007496 | A1 | 20040122 | WO 2003-US22082 | 20030714 |
| W: AU, CN, JP | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR | | | | |
| AU 2003249262 | A1 | 20040202 | AU 2003-249262 | 20030714 |
| EP 1539751 | A1 | 20050615 | EP 2003-764677 | 20030714 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1668617 | A | 20050914 | CN 2003-816832 | 20030714 |
| JP 2005538980 | T | 20051222 | JP 2004-521845 | 20030714 |
| PRIORITY APPLN. INFO.: | | | US 2002-396278P | 20020715 |
| | | | WO 2003-US22082 | 20030714 |

OTHER SOURCE(S): MARPAT 140:94046

GI

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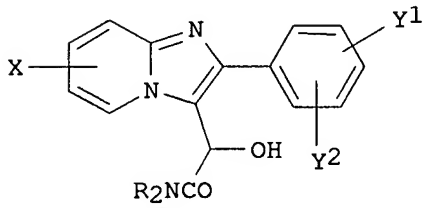
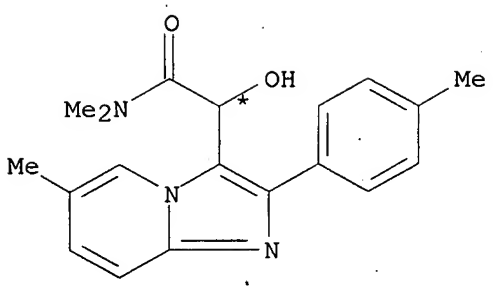



II

AB Imidazo[1,2-a]pyridine-3-N,N-dialkylacetamides [I; R = C1-4 alkyl; X, Y1, Y2 = H, C1-4 alkyl; e.g., 6-Methyl-N,N-dimethyl-2-(4-methylphenyl)imidazo[1,2-a]pyridine-3-acetamide] are prepared by the reaction of imidazo[1,2-a]pyridines [II; e.g., 6-methyl-N,N-dimethyl-2-(4-methylphenyl)-α-hydroxyimidazo[1,2-a]pyridine-3-acetamide] with PBr3 in a non-reactive solvent (e.g., 1,2-dichloroethane) to give an intermediate which is subjected to hydrolysis.

RX(3) OF 4 ...C ===> E



C

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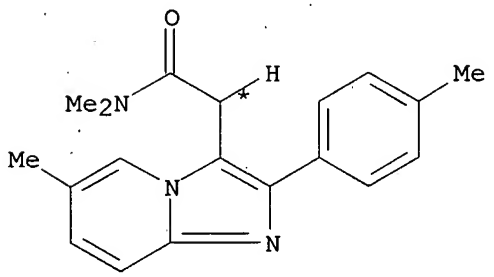

E
YIELD 74%

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PRO E 82626-48-0
SOL 107-06-2 ClCH2CH2Cl
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) 2 hours, reflux
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 4 OF 5 CASREACT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 111:115178 CASREACT
TITLE: Imidazopyridine derivatives useful as sedatives, anxiolytics, and anticonvulsants, their preparation, and medicaments and compositions containing them
INVENTOR(S): George, Pascal; Allen, John; Jaurand, Guy
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.
SOURCE: Fr. Demande, 13 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2612927 | A1 | 19880930 | FR 1987-4276 | 19870327 |
| FR 2612927 | B1 | 19890609 | | |
| EP 289371 | A1 | 19881102 | EP 1988-400666 | 19880321 |
| EP 289371 | B1 | 19910925 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| AT 67765 | T | 19911015 | AT 1988-400666 | 19880321 |

| | | | | | |
|---|---|---|---|---|---|
| ES 2026666 | T3 | 19920501 | ES 1988-400666 | 19880321 |
| IL 85840 | A | 19920329 | IL 1988-85840 | 19880323 |
| DK 8801673 | A | 19880928 | DK 1988-1673 | 19880325 |
| FI 8801434 | A | 19880928 | FI 1988-1434 | 19880325 |
| NO 8801333 | A | 19880928 | NO 1988-1333 | 19880325 |
| AU 8813736 | A | 19880929 | AU 1988-13736 | 19880325 |
| AU 597809 | B2 | 19900607 | | |
| JP 63258475 | A | 19881025 | JP 1988-73036 | 19880325 |
| JP 2733492 | B2 | 19980330 | | |
| HU 46692 | A2 | 19881128 | HU 1988-1526 | 19880325 |
| HU 198048 | B | 19890728 | | |
| ZA 8802163 | A | 19881130 | ZA 1988-2163 | 19880325 |
| CA 1324139 | C | 19931109 | CA 1988-562556 | 19880325 |
| US 4847263 | A | 19890711 | US 1988-173813 | 19880328 |
| PRIORITY APPLN. INFO.: | | | FR 1987-4276 | 19870327 |
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OTHER SOURCE(S): MARPAT 111:115178

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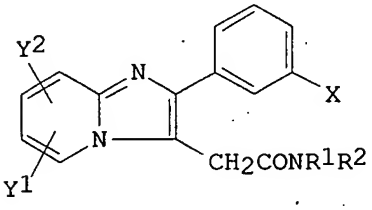


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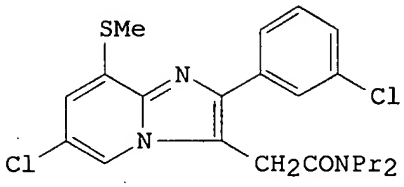
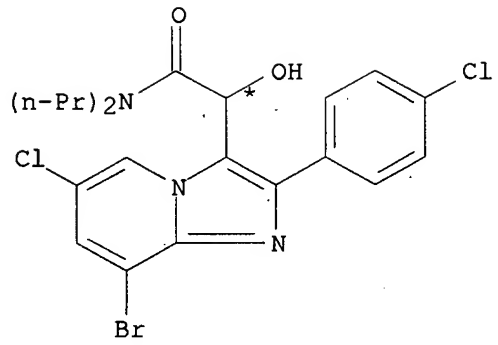



II

AB Imidazopyridine I [Y1 = H, halo, C1-4 alkyl; Y2 = SR where R = H, C1-4 alkyl; X = H, halo, C1-4 alkyl or alkoxy, CF3, MeS, NO2, NH2; R1, R2 = H, alkyl (un)substituted by halo, hydroxy, or alkoxy; or NR1R2 = C3-6 heterocyclyl; or R1R2 = (CH2)2X(CH2)2 where X = O, S, NR3; R3 = H, C1-4 alkyl, Ph] are prepared as sedatives, anxiolytics, and anticonvulsants. Bromination of 2-amino-5-chloropyridine with Br in CH2Cl2 gave the 3-bromo compds., which underwent cyclocondensation with 4-ClC6H4COCH2Br in EtOH containing NaHCO3 to give 8-bromo-6-chloro-2-(4-chlorophenyl)imidazo[1,2-a]pyridine. Treatment of the latter with (EtO)2CHCONPr2 in AcOH containing HCl gave the 3-CH(OH)CONPr2 derivative, which reacted 1st with SOCl2 and then with Rongalite to give the 3-CH2CONPr2 derivative Displacement of Br by MeSNa in THF/DMF gave chloro(chlorophenyl)methylthiodipropylimidazopyridineaceta mide II. The ED50 of I for protection of mice from pentetrazole-induced (i.v., 35 mg/kg) clonic convulsions was 0.1-10 mg/kg, i.p.

RX(4) OF 15 ...G ===> H...



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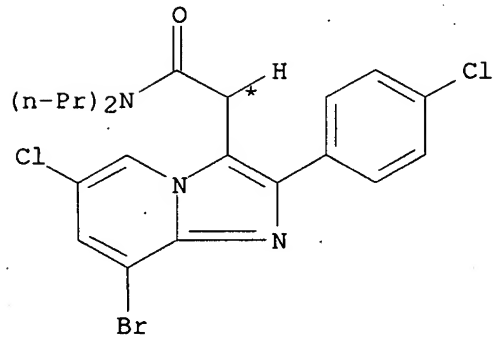

H

RX(4) RCT G 122328-23-8
PRO H 122341-79-1

L2 ANSWER 5 OF 5 CASREACT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 109:149531 CASREACT
TITLE: Preparation of imidazopyridineacetamides as sedatives and hypnotics and as anticonvulsants
INVENTOR(S): George, Pascal; Allen, John
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 267111 | A1 | 19880511 | EP 1987-402463 | 19871102 |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| FR 2606410 | A1 | 19880513 | FR 1986-15533 | 19861107 |
| FR 2606410 | B1 | 19890224 | | |
| US 4808594 | A | 19890228 | US 1987-116217 | 19871103 |
| JP 63135382 | A | 19880607 | JP 1987-281925 | 19871106 |

PRIORITY APPLN. INFO.: FR 1986-15533 19861107
OTHER SOURCE(S): MARPAT 109:149531

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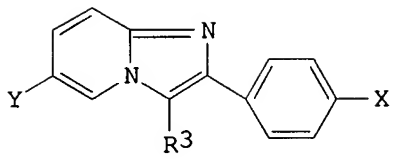
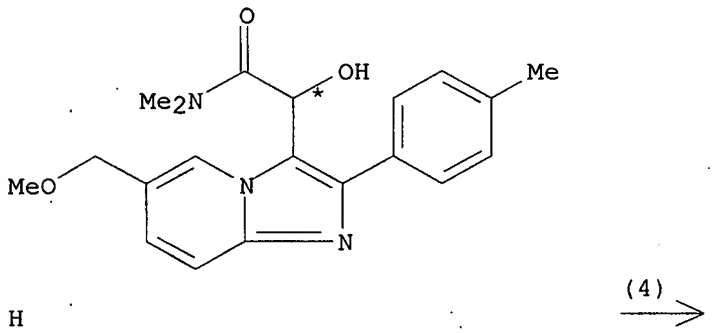


I

AB The title compds. (I; R3 = CH2CONR1R2; R1, R2 = C1-3 alkyl; X = Me and Y = CH2OR or X = CH2OR and Y = Me; R = C1-6 alkyl) were prepared I (R3 = H, X = Me, Y = CO2Et) was stirred 0.5 h at 0° with LiAlH4 in THF and the product stirred 40 min with NaH and MeI in THF-DMF to give I (R3 = H, X = Me, Y = CH2OMe) which was stirred 2 h at 50° with Me2NCOCHO in HOAc containing NaOAc to give I [R3 = CH(OH)CONMe2, X = Me, Y = CH2OMe]. The latter was stirred 20 h with SOCl2 in CH2Cl2 and the product stirred 3 h with HOCH2SO2Na in CH2Cl2 to give I (R3 = CH2CONMe2, X = Me, Y = CH2OMe). I protect 50% of mice given pentetrazol i.v. from convulsions at 0.1-10 mg/kg i.p.

RX(4) OF 7 ...H ===> I



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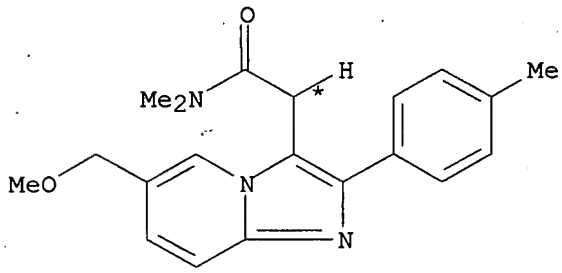

I

RX(4) RCT H 116494-83-8
RGT J 7719-09-7 SOCl2
PRO I 116494-84-9
CAT 149-44-0 HOCH2SO2Na

=> d his

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L2            5 S L1 FULL

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FULL ESTIMATED COST                                   139.05     139.26

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                    -3.65      -3.65

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| NEWS 3 | JUL 02 | SCISEARCH enhanced with complete author names |
| NEWS 4 | JUL 02 | CHEMCATS accession numbers revised |
| NEWS 5 | JUL 02 | CA/CAplus enhanced with utility model patents from China |
| NEWS 6 | JUL 16 | CAplus enhanced with French and German abstracts |
| NEWS 7 | JUL 18 | CA/CAplus patent coverage enhanced |
| NEWS 8 | JUL 26 | USPATFULL/USPAT2 enhanced with IPC reclassification |
| NEWS 9 | JUL 30 | USGENE now available on STN |
| NEWS 10 | AUG 06 | CAS REGISTRY enhanced with new experimental property tags |
| NEWS 11 | AUG 06 | BEILSTEIN updated with new compounds |
| NEWS 12 | AUG 06 | FSTA enhanced with new thesaurus edition |
| NEWS 13 | AUG 13 | CA/CAplus enhanced with additional kind codes for granted patents |
| NEWS 14 | AUG 20 | CA/CAplus enhanced with CAS indexing in pre-1907 records |
| NEWS 15 | AUG 27 | Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB |
| NEWS 16 | AUG 27 | USPATOLD now available on STN |
| NEWS 17 | AUG 28 | CAS REGISTRY enhanced with additional experimental spectral property data |
| NEWS 18 | SEP 07 | STN AnaVist, Version 2.0, now available with Derwent World Patents Index |
| NEWS 19 | SEP 13 | FORIS renamed to SOFIS |
| NEWS 20 | SEP 13 | INPADOCDB enhanced with monthly SDI frequency |
| NEWS 21 | SEP 17 | CA/CAplus enhanced with printed CA page images from 1967-1998 |
| NEWS 22 | SEP 17 | CAplus coverage extended to include traditional medicine patents |
| NEWS 23 | SEP 24 | EMBASE, EMBAL, and LEMBASE reloaded with enhancements |
| NEWS 24 | OCT 02 | CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt |

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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| FULL ESTIMATED COST | 0.21 | 0.21 |

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DICTIONARY FILE UPDATES: 14 OCT 2007 HIGHEST RN 950664-39-8

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http://www.cas.org/support/stngen/stndoc/properties.html

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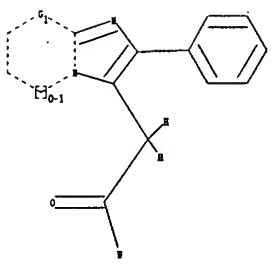

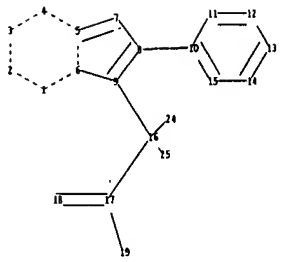

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16 17 18 19 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
8-10 9-16 16-17 16-24 16-25 17-18 17-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-10 9-16 16-17 16-24 16-25
17-18 17-19
normalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

G1:C,O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS
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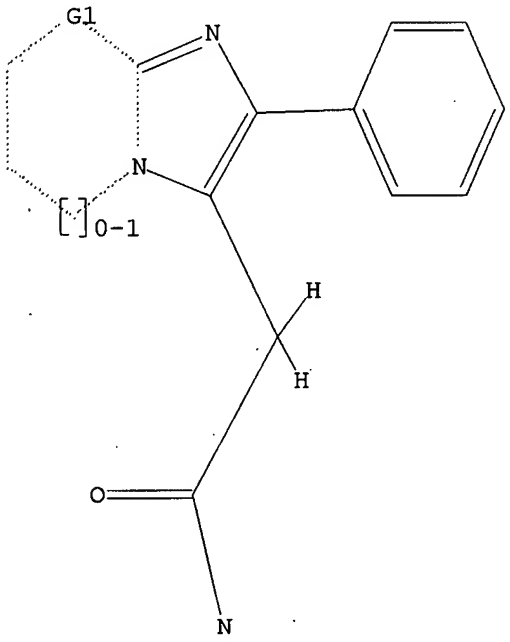


G1 C,O,N

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FILE LAST UPDATED: 14 Oct 2007 (20071014/ED)

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=> s l5 and catalyst?
        995473 CATALYST?
L6            4 L5 AND CATALYST?

=> d ibib abs hitstr tot

L6   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2007:401359  CAPLUS
DOCUMENT NUMBER:          146:358850
TITLE:                    A method for preparing zolpidem and its intermediates
INVENTOR(S):              Stivanello, Mariano; De Lucchi, Ottorino; Grendele,
                          Ennio; Sperandio, Davide
PATENT ASSIGNEE(S):       F.I.S. Fabbrica Italiana Sintetici S.p.A., Italy
SOURCE:                   Ital. Appl., 22pp.
                          CODEN: ITXXCZ
DOCUMENT TYPE:            Patent
LANGUAGE:                 Italian
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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     IT 2002MI0574         A1    20030919    IT 2002-MI574            20020319
PRIORITY APPLN. INFO.:                       IT 2002-MI574            20020319
OTHER SOURCE(S):          CASREACT 146:358850
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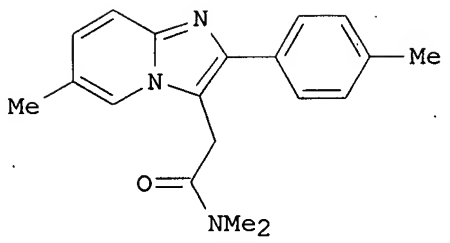


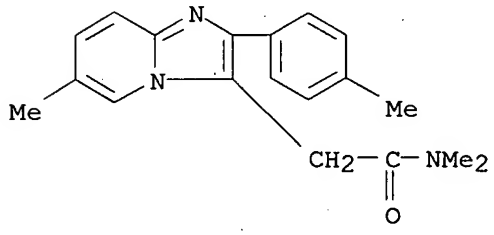

I

AB The invention relates to the preparation of zolpidem (I). Compound I was prepared by aluminum-catalyzed Friedel-Crafts reaction of succinic anhydride with toluene; the resulting 4-(4-methylphenyl)-4-oxobutanoic acid underwent amidation with dimethylamine to give N,N-di-Me 4-(4-methylphenyl)-4-oxobutanamide, which underwent bromination to give N,N-di-Me 3-bromo-4-(4-methylphenyl)-4-oxobutanamide, which underwent cyclization with 2-amino-5-picoline to give compound I.

IT 82626-48-0P, Zolpidem
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of zolpidem and their intermediates)

RN 82626-48-0 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)- (CA INDEX NAME)




L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:332162 CAPLUS
DOCUMENT NUMBER: 144:331433
TITLE: Synthesis of heteroaryl acetamides from reaction mixtures of heteroaryl α-hydroxyacetamides having reduced water content
INVENTOR(S): Jarvi, Esa T.; Miller, Douglas C.; Moser, Frank W.; Halvachs, Robert E.
PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006007289 | A1 | 20060119 | WO 2005-US19810 | 20050603 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,

SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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| CA 2571491 | A1 | 20060119 | CA 2005-2571491 | | 20050603 |
| CN 1972939 | A | 20070530 | CN 2005-80020732 | | 20050603 |
| EP 1809627 | A1 | 20070725 | EP 2005-756522 | | 20050603 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | |
| US 2007213537 | A1 | 20070913 | US 2006-594486 | | 20060927 |
| IN 2006CN04715 | A | 20070629 | IN 2006-CN4715 | | 20061222 |
| PRIORITY APPLN. INFO.: | | | US 2004-581967P | P | 20040622 |
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OTHER SOURCE(S): CASREACT 144:331433; MARPAT 144:331433

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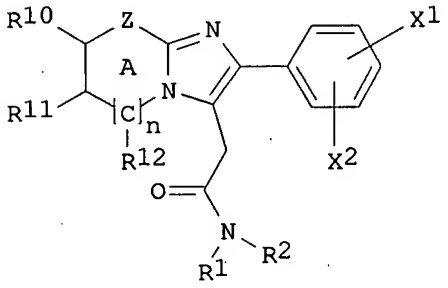

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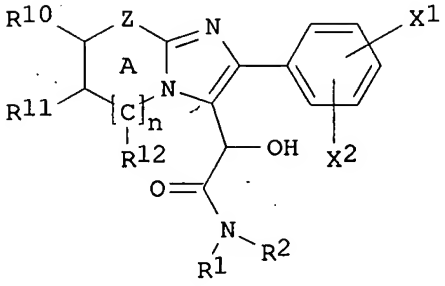


II

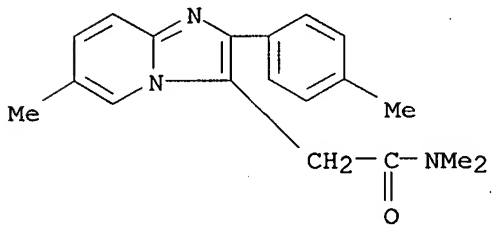
AB An improved process for the preparation of a heteroaryl acetamide (I) [Z = O, NR20 or CR21; X1, X2 = H, halogen, C1-4 alkoxy, C1-6 alkyl, CF3, MeSO2; R1, R2 = H, hydrocarbyl; R10 = H, halogen, C1-4 alkyl, a fused ring such as (i) a (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-NR20 or (ii) a (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11); R11 = H, halogen, C1-4 alkyl, or a fused ring such as (i) a (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11) or (ii) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R12 (if present) = H, halogen, C1-4 alkyl, or a fused ring such as (i) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R20 = C1-5 alkyl or a fused ring such as an (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-N(R20); R21 = H, halogen, C1-4 alkyl; n = 0-1; when Z is CR21, the A ring is aromatic] from a

heteroaryl α-hydroxyacetamide (II) is provided. The process comprises directly hydrogenating the heteroaryl α-hydroxyacetamide II in the presence of a strong acid, a halide and a catalyst wherein the molar ratio of the starting heteroaryl α-hydroxyacetamide II to water at the initiation of hydrogenolysis is at least about 2:1. In one embodiment, the heteroaryl acetamide is zolpidem and the heteroaryl α-hydroxyacetamide is α-hydroxyzolpidem. Thus, α-hydroxyzolpidem (1.35 kg), acetic acid (1.42 kg), 5% Pd-C (38.6 g), and NaBr solution (6.6 mL) were combined in a glass reactor and the reactor was closed. Concentrated H2SO4 (0.625 kg) and acetic anhydride (0.31 kg) were added to the reactor with cooling to maintain the reaction temperature below 70° and then the reactor was purged with nitrogen and pressurized with hydrogen gas to 30 psig. The reaction mixture was heated at 80-85° while maintaining the hydrogen pressure at 30 psig until the hydrogen uptake stopped, and cooled to 20-30°, and filtered to remove the catalyst, followed by washing the filtered catalyst with 1 L water and the wash water was added to the filtrate to give, after adding 3 L water and 3.15 kg iso-Pr alc. and then ammonium hydroxide (approx. 4.15 kg), cooling for crystallization, filtration, and drying, 1 kg zolpidem.

IT 82626-48-0P, Zolpidem
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-heteroarylacetamides by hydrogenolysis of N-heteroaryl-α-acetamides from reaction mixts. having reduced water content)

RN 82626-48-0 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)- (CA INDEX NAME)




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:566605 CAPLUS
DOCUMENT NUMBER: 141:123627
TITLE: Improved process for the synthesis of heteroaryl acetamides, in particular zolpidem, by hydrogenation of α-hydroxyacetamides
INVENTOR(S): Jarvi, Esa T.; Miller, Douglas C.
PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004058758 | A1 | 20040715 | WO 2003-US39951 | 20031216 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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|---|---|---|---|---|---|
| CA 2509561 | A1 | 20040715 | CA 2003-2509561 | | 20031216 |
| AU 2003297153 | A1 | 20040722 | AU 2003-297153 | | 20031216 |
| EP 1575952 | A1 | 20050921 | EP 2003-814010 | | 20031216 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| CN 1729188 | A | 20060201 | CN 2003-80106954 | | 20031216 |
| JP 2006516139 | T | 20060622 | JP 2004-563575 | | 20031216 |
| US 2006025588 | A1 | 20060202 | US 2005-537604 | | 20050603 |
| MX 2005PA06438 | A | 20050908 | MX 2005-PA6438 | | 20050615 |
| IN 2005CN01264 | A | 20070622 | IN 2005-CN1264 | | 20050615 |
| PRIORITY APPLN. INFO.: | | | US 2002-435763P | P | 20021218 |
| | | | WO 2003-US39951 | W | 20031216 |

OTHER SOURCE(S): CASREACT 141:123627; MARPAT 141:123627
GI

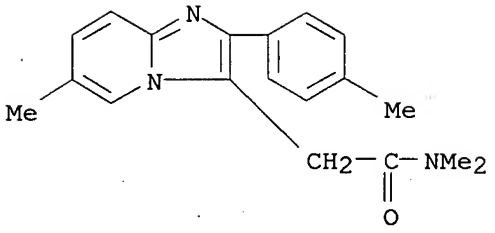
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is directed to an improved process for the preparation of heteroaryl acetamides I, in particular zolpidem (II), in one step, by hydrogenation of the corresponding α-hydroxyacetamides in the presence of a strong acid, a halide, and a Pd-based catalyst [wherein Z = O, NR20, CH and derivs.; X1, X2 = independently H, halo, alkoxy, alkyl, CF3, CH3SO2; R1, R2 = independently H, hydrocarbyl; R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, etc.; R5 = H, halo, alkyl, etc.; W = (C)n; n = 0-1; when Z = CH and derivs., A is aromatic]. Thus, α-hydroxy-II was hydrogenated in the presence of a solution of H2SO4 in glacial AcOH, 1.4M NaBr in water, and 5% Pd/BaSO4 at 30-35 psi and 70° for 17 h to give zolpidem in 92 yield and 98.4% purity. Simillarly, α-hydroxy-II O-acetate gave II in 86% yield and 74.4% purity, which was recrystd. from i-PrOH.

IT 82626-48-0P, Zolpidem
RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(heteroaryl acetamide product; synthesis of heteroaryl acetamides, in particular zolpidem, by hydrogenation of α-hydroxyacetamides in the presence of a strong acid, a halide and Pd-based catalyst)

RN 82626-48-0 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)- (CA INDEX NAME)




L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:865526 CAPLUS
DOCUMENT NUMBER: 137:370088
TITLE: Cyclocondensation process for the production of 2-phenylimidazo[1,2-a]pyridines
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 6 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 10121638 | A1 | 20021114 | DE 2001-10121638 | 20010503 |
| US 2002183522 | A1 | 20021205 | US 2002-133830 | 20020426 |
| CA 2445766 | A1 | 20021114 | CA 2002-2445766 | 20020502 |
| WO 2002090356 | A2 | 20021114 | WO 2002-EP4796 | 20020502 |
| WO 2002090356 | A3 | 20031224 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002314026 | A1 | 20021118 | AU 2002-314026 | 20020502 |
| EP 1395586 | A2 | 20040310 | EP 2002-740551 | 20020502 |
| EP 1395586 | B1 | 20070214 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004528380 | T | 20040916 | JP 2002-587435 | 20020502 |
| AT 353896 | T | 20070315 | AT 2002-740551 | 20020502 |
| ES 2280550 | T3 | 20070916 | ES 2002-2740551 | 20020502 |
| US 6562975 | B1 | 20030513 | US 2002-319276 | 20021213 |
| US 2003109707 | A1 | 20030612 | US 2002-318900 | 20021213 |
| US 6583285 | B2 | 20030624 | | |
| US 2003195375 | A1 | 20031016 | US 2003-446434 | 20030527 |
| US 6664421 | B2 | 20031216 | | |
| US 2004087794 | A1 | 20040506 | US 2003-689307 | 20031020 |
| US 6958417 | B2 | 20051025 | | |
| MX 2003PA10034 | A | 20040227 | MX 2003-PA10034 | 20031031 |

PRIORITY APPLN. INFO.:

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|---|---|---|
| DE 2001-10121638 | A | 20010503 |
| US 2001-290747P | P | 20010514 |
| US 2002-133830 | A3 | 20020426 |
| WO 2002-EP4796 | W | 20020502 |
| US 2002-318900 | A3 | 20021213 |

OTHER SOURCE(S): CASREACT 137:370088; MARPAT 137:370088

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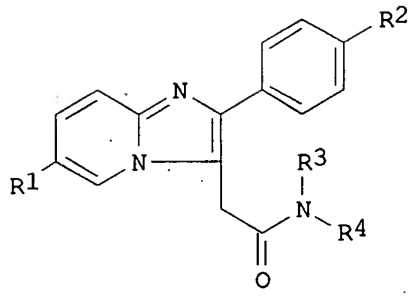

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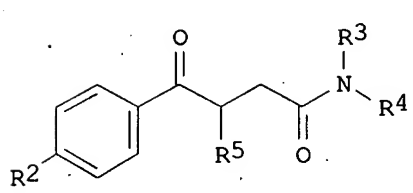
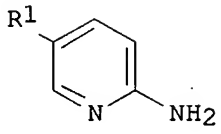


II



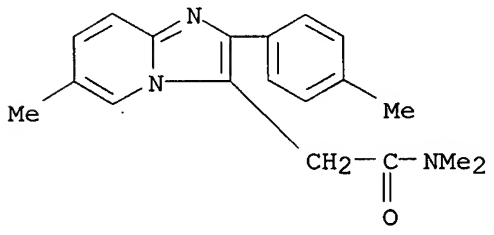
III

AB 2-Phenylimidazo[1,2-a]pyridines (I; R1-R4 = H, C1-6 alkyl), useful as pharmaceutical intermediates, are prepared in high yield and selectivity by the cyclocondensation of 4-phenyl-4-oxobutyramides (II; R5 = Cl, Br, I, O2CCH3, tosylate, mesylate) with 2-aminopyridines (III) in the presence of a catalyst. Thus, 3-(4-methylbenzoyl)propanoic acid dimethylamide was dissolved in AcOH brominated with bromine into 3-bromo-3-(4-methylbenzoyl)propanoic acid dimethylamide and subjected to cyclocondensation with 4-aminopicoline into N,N-6-trimethyl-2-(4-methylphenyl)imidazo[1,2-a]pyridine-3-acetamide in 45.7% yield.

IT 82626-48-0P 99294-93-6P
RL: PNU (Preparation, unclassified); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cyclocondensation process for the production of 2-phenylimidazo[1,2-a]pyridines)

RN 82626-48-0 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)- (CA INDEX NAME)



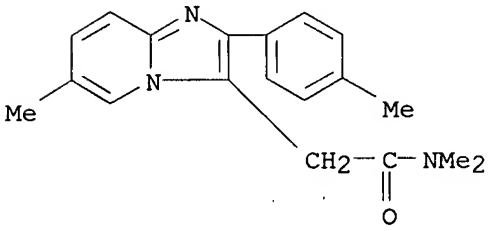
RN 99294-93-6 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)-,

(2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

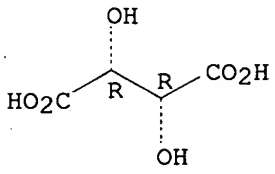
CRN 82626-48-0
CMF C19 H21 N3 O



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L1 STRUCTURE UPLOADED
L2 560 S L1 FULL

FILE 'CAPLUS' ENTERED AT 07:31:27 ON 15 OCT 2007
L3 63 S L2/PREP FULL
L4 37 S L3 AND PY<2002
L5 31 S L3 AND ACID?
L6 4 S L5 AND CATALYST?

=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 31.00 | 203.31 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -3.12 | -3.12 |

STN INTERNATIONAL LOGOFF AT 07:33:37 ON 15 OCT 2007